### => d ibib abs hitstr 14 1-1

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:2715 HCAPLUS Full-text

DOCUMENT NUMBER: 140:53415

TITLE:

Novel complexes of fatty acid esters of polyhydroxyalkanes and pyridine carboxy

derivatives

INVENTOR(S): Weldner, Morten Slotb

PATENT ASSIGNEE(S): Astion Development A/S, Den. SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Paten+ LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA:	ENT :	NO.			KIN	D	DATE			APF	LICA	CION	NO.		D	ATE	
												2003-						
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BE	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	I, MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
			PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG	, SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA	, ZM,	ZW					
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GÇ	, GW,	ML,	MR,	NE,	SN,	TD,	TG
	CA	2491	871			A1		2003	1231		CA	2003-	-2491	871		2	0030	620
	ΑU	2003	2404	41		A1		2004	0106		AU	2003-	-2404	41		2	0030	620
	ΕP	1560	589			A1		2005	0810		ΕP	2003-	-7299	15		2	0030	620
	ΕP	1560	589			B1		2006	1004									
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	HU,	SK	
	CN	1674	921			A		2005	0928		CN	2003-	-8196	61		2	0030	620
	JP	2005	5372	38		T		2005	1208		JP	2004-	-5145	90		2	0030	620
	ΕP	1640	011			A1		2006	0329		ΕP	2005-	-1996	1		2	0030	620
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	HU,	SK	
	ΑT	3413	34			T		2006	1015		ΑT	2003- 2003- 2003- 2005-	-7299	15		2	0030	620
	ΝZ	5377	83			A		2006	1222		NZ	2003-	-5377	83		2	0030	620
	ES	2274	236			Т3		2007	0516		ES	2003-	-7299	15		2	0030	620
	NO	2005	0003	09		A		2005	0318		NO	2005-	-309			2	0050	119
	US	2006	0069	131		A1		2006	0330		US	2005-	-5175	92		- 2	0050	815
	HΚ	1076	395			A1		2006	1124		HK	2005-	-1102	10		2	0051	115
PRIOR	IT	APP	LN.	INFO	. :						DK	2005- 2002- 2002-	-951			A 2	0020	620
											US	2002-	-3898	79P		P 2	0020	620
											ΕP	2003-	-7299	15		A3 2	0030	620
											WO	2003-	-DK42	3		W 2	0030	620

#### OTHER SOURCE(S): MARPAT 140:53415

The present invention relates to novel combinations of fatty acid derivs, and pyridine carboxy derivs., including fatty acid esters with glycerol and 3carboxy pyridine derivs, such as niacinamide. Such combinations have surprisingly shown antiviral and anti-microbial activity and the use for the treatment of inflammatory conditions and infections is disclosed herein. IT 59-67-6, Nicotinic acid, biological studies 59-67-60,

Pyridine-3-carboxylic acid, derivs. 98-92-0, Niacinamide

## 10/517,592

110-86-10, Pyridine, derivs. 114-33-0 329-69-5

4314-66-3 4621-66-3, 3-Pyridinecarbothioamide

6556-11-2 7150-23-4, 6-Methoxyniacinamide

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(complexes with fatty acid polyhydroxyalkane esters; novel complexes of fatty acid esters of polyhydroxyalkanes and

pyridine carboxy derivs. as therapeutic agents for treatment of disease

and cosmetics and dietary supplements)

RN 59-67-6 HCAPLUS

CN 3-Pyridinecarboxylic acid (CA INDEX NAME)

RN 59-67-6 HCAPLUS

CN 3-Pyridinecarboxylic acid (CA INDEX NAME)

RN 98-92-0 HCAPLUS

CN 3-Pyridinecarboxamide (CA INDEX NAME)

RN 110-86-1 HCAPLUS

CN Pyridine (CA INDEX NAME)



RN 114-33-0 HCAPLUS

CN 3-Pyridinecarboxamide, N-methyl- (CA INDEX NAME)

## 10/517,592

- RN 329-89-5 HCAPLUS
- CN 3-Pyridinecarboxamide, 6-amino- (CA INDEX NAME)

- RN 4314-66-3 HCAPLUS
- CN 3-Pyridinecarboxamide, N-ethyl- (CA INDEX NAME)

- RN 4621-66-3 HCAPLUS
- CN 3-Pyridinecarbothioamide (CA INDEX NAME)

- RN 6556-11-2 HCAPLUS
- CN myo-Inositol, hexa-3-pyridinecarboxylate (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

- RN 7150-23-4 HCAPLUS
- CN 3-Pyridinecarboxamide, 6-methoxy- (CA INDEX NAME)

- IT 502-54-5
  - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Biological Study); USES (USES)
(complexes with niacinamide; novel complexes of fatty acid esters of

- polyhydroxyalkanes and pyridine carboxy derivs. as therapeutic agents for treatment of disease and cosmetics and dietary supplements)
- RN 502-54-5 HCAPLUS
- CN Octanoic acid, 2,3-dihydroxypropyl ester (CA INDEX NAME)

IT 50-70-40, Sorbitol, fatty acid esters 56-81-50. Glycerol, fatty acid esters 57-10-3D, Palmitic acid, polyhydroxyalkane esters 57-55-6D, Propylene glycol, fatty acid esters 60-33-30, Linoleic acid, polyhydroxyalkane esters 107-88-0D, 1,3-Butylene glycol, fatty acid esters 124-07-20, Caprylic acid, polybydroxyalkane esters 141-22-0D, Ricinoleic acid, polyhydroxyalkane esters 142-62-15, Caproic acid, polyhydrozyalkane esters 143-07-75, Lauric acid, polyhydroxyalkane esters 334-48-5D, Capric acid, polyhydroxyalkane esters 373-49-9D, Palmitoleic acid. polyhydroxyalkane esters 463-40-10, a-Linolenic acid, polyhydroxyalkane esters 506-26-30, y-Linolenic acid, polyhydrozyalkane esters 513-85-9D, 2,3-Butylene glycol, fatty acid esters 544-63-8D, Myristic acid, polyhydroxyalkane esters 544-64-90, Myristoleic acid, polyhydroxyalkane esters 6217-54-5D, Docosahexaenoic acid, polyhydroxyalkane esters 10417-94-4D, all-cis-5,8,11,14,17-Eicosapentaenoic acid, polyhydroxyalkane esters RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (complexes with pyridine carboxy derivs.; novel complexes of fatty acid esters of polyhydroxyalkanes and pyridine carboxy derivs. as therapeutic agents for treatment of disease and cosmetics and dietary

50-70-4 HCAPLUS DΝ

supplements) D-Glucitol (CA INDEX NAME) CN

Absolute stereochemistry.

RN 56-81-5 HCAPLUS

CN 1,2,3-Propanetriol (CA INDEX NAME)

RN 57-10-3 HCAPLUS

CN Hexadecanoic acid (CA INDEX NAME)

HO2C-(CH2)14-Me

RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)

## 10/517,592

RN 60-33-3 HCAPLUS

CN 9,12-Octadecadienoic acid (9Z,12Z)- (CA INDEX NAME)

Double bond geometry as shown.

$$_{\mathrm{H}\circ_{2}\mathrm{C}}$$
 (CH2) 7  $_{\mathrm{Z}}$   $_{\mathrm{Me}}$ 

RN 107-88-0 HCAPLUS

CN 1,3-Butanediol (CA INDEX NAME)

RN 124-07-2 HCAPLUS

CN Octanoic acid (CA INDEX NAME)

RN 141-22-0 HCAPLUS

CN 9-Octadecenoic acid, 12-hydroxy-, (9Z,12R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

RN 142-62-1 HCAPLUS

CN Hexanoic acid (CA INDEX NAME)

RN 143-07-7 HCAPLUS

CN Dodecanoic acid (CA INDEX NAME)

HO2C-(CH2)10-Ne

- RN 334-48-5 HCAPLUS
- CN Decanoic acid (CA INDEX NAME)

HO2C- (CH2)8-Me

- RN 373-49-9 HCAPLUS
- CN 9-Hexadecenoic acid, (9Z)- (CA INDEX NAME)

Double bond geometry as shown.



- RN 463-40-1 HCAPLUS
- CN 9,12,15-Octadecatrienoic acid, (9Z,12Z,15Z)- (CA INDEX NAME)

Double bond geometry as shown.



- RN 506-26-3 HCAPLUS
- CN 6,9,12-Octadecatrienoic acid, (6Z,9Z,12Z)- (CA INDEX NAME)

Double bond geometry as shown.



- RN 513-85-9 HCAPLUS
- CN 2,3-Butanediol (CA INDEX NAME)

OH OH
Me\_CH\_CH\_Me

- RN 544-63-8 HCAPLUS
- CN Tetradecanoic acid (CA INDEX NAME)

HO2C-(CH2)12-Me

- RN 544-64-9 HCAPLUS
- CN 9-Tetradecenoic acid, (9Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 6217-54-5 HCAPLUS

CN 4,7,10,13,16,19-Docosahexaenoic acid, (4Z,7Z,10Z,13Z,16Z,19Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 10417-94-4 HCAPLUS

CN 5,8,11,14,17-Eicosapentaenoic acid, (5Z,8Z,11Z,14Z,17Z)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT RESULTS FROM REGISTRY, CAPLUS, AND USPATFULL

=> d que stat 146 L38 STR

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L40 65 SEA FILE=REGISTRY SSS FUL L38

L41 14 SEA FILE=HCAPLUS ABB=ON L40

L42 4 SEA FILE=HCAPLUS ABB=ON L41 AND (?COSMETIC? OR ?SKIN? OR

?DERM? OR ?INFLAM?)
L43 14 SEA FILE=HCAPLUS ABB=ON L41 OR L42

14 5EA FILE-USPATFULL ABB-ON L41 OR L42
L45 17 DUP REMOV L43 L44 (4 DUPLICATES REMOVED)

L46 16 SEA L45 AND (PRD<20020620 OR PD<20020620)

=> d ibib abs hitstr 146 1-16

L46 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2003:44145 HCAPLUS Full-text

DOCUMENT NUMBER: 138:55881

TITLE: Preparation of 2-oxo-4-hydroxypyrroles and quinolines as inhibitors of plasminogen activator inhibitor

(PAI-1) for treatment of hemostatic and thrombotic

disorders.

INVENTOR(S): Folkes, Adrian; Wang, Shouming; Golec, Julian; Vicker, Nigel; Prisbylla, Michael Paul; Mac, Morrison B.;

Epshteyn, Sergey Peter; Webb, Robert Remme

PATENT ASSIGNEE(S): Xenova Limited, UK

SOURCE: Brit. UK Pat. Appl., 61 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2372986	A	20020911	GB 2001-1227	20010117 <
PRIORITY APPLN. INFO.:			GB 2001-1227	20010117 <

OTHER SOURCE(S):

MARPAT 138:55881

AB Title compds. [I, X = CRR2, C(R3):C(R4); R2 = H, alkyl, Ar; R3R4C = atoms to form a (substituted) benzene ring; R1 = H, alkyl, (CH2)nAr, unsatd. (substituted) carbocyclyl; n = 1-10; Ar = (substituted) unsatd. carbocyclyl, heterocyclyl; Z = tetrazolyl, COZR5; R5 = H, alkyll, were prepared Thus, 6-benzo(b)thiophen-3-yl-4-hydroxy-2-oxo-1,2-didyroquinoline-3-carboxylic acid Me ester and 8-(4-aminophenoxy)octanoic acid Me ester were refluxed 3 h in m-xylene to give 8-[4-[(6-Benzo(b)thiophen-3-yl-4-hydroxy-2-oxo-1,2-dihydroquinoline-3-carbonyl)amino)phenoxyloctanoic acid Me ester. The latter

showed IC50 = 0.270  $\mu M$  in a fibrin plate assay. IT  $479621{-}66{-}4P$ 

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 2-oxo-4-hydroxypyrroles and quinolines as inhibitors of plasminogen activator inhibitor (PAI-1) for treatment of hemostatic and thrombotic disorders)

RN 479621-66-4 HCAPLUS

CN Octanoic acid, 8-[4-[[(6-benzo[b]thien-3-yl-1,2-dihydro-4-hydroxy-2-oxo-3-quinolinyl)carbonyl]amino[phenoxy]-, methyl ester (CA INDEX NAME)

L46 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1997:533661 HCAPLUS Full-text

DOCUMENT NUMBER: 127:205815

ORIGINAL REFERENCE NO.: 127:40015a.40018a

TITLE: Preparation of sialyl-Lewisa and sialyl-Lewisx epitope

analogs as E-selection receptors

INVENTOR(S): Oehrlein, Reinhold

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Oehrlein, Reinhold

SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE		
						-									-			
WO	9728	174			A1		1997	0807		WO 1	997-1	EP22	3		1	9970	117	<
	W:	AL,	AU,	BA,	BB,	BG,	BR,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,	IL,	IS,	JP,	
		KP,	KR,	LC,	LK,	LR,	LT,	LV,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,	SG,	
		SI,	SK,	TR,	TT,	UA,	US,	UZ,	VN,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
		IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	
		MR,	NE,	SN,	TD,	TG												
AU	9714	446			A		1997	0822		AU 1	997-	1444	6		1	9970	117	<
EP	8866	39			A1		1998	1230		EP 1	997-	9010	68		1	9970	117	<
EP	8866	39			B1		2008	0528										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	FI															
US	6187	754			B1		2001	0213		US 1	999-	1175	21		1	9990	108	<
PRIORIT	Y APP	LN.	INFO	. :						CH 1	996-	229			A 1	9960	130	<
										WO 1	997-1	EP22	3	1	W 1	9970	117	<
OTHER S	OURCE	(S):			MAR	PAT	127:	2058	15									

- AB Sialyl-Lewisa and sialyl-Lewisx epitope analogs I (Z =  $\alpha$ -pyranose; R1 = H, alkyl, alkenyl, cycloalkyl, heteroaryl, cycloaryl; R2 = alkyl, cycloalkyl; R3 = Me, hydroxymethyl; X = CO, CS, SO2, acyl, thiocarbonyl) in which the naturally occurring N-acetyl group of the N-acetylglucosamine monomer is replaced by various allphatic or aromatic substituents and the L-fucose naturally present is replaced by various naturally occurring or non-naturally occurring sugars were prepared as E-selectin receptors. Thus, I (R = Me, R1 = 2-hydroxy-5-fluorophenyl, X = CO, R2 = (CH2)8CO2Me, Z = R3) was prepared and tested as E-selectin receptor (relative IC50 to an internal control is 0.039). IT 193655-09-99
  - RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(preparation of sialyl-Lewisa and sialyl-Lewisx epitope analogs as  $\mbox{\sc E-selection}$  receptors)

RN 194655-09-9 HCAPLUS

CN Nonanoic acid, 9-[[0-(N-acetyl- $\alpha$ -neuraminosyl)-(2 $\rightarrow$ 3)-0- $\beta$ -D-galactopyranosyl-(1 $\rightarrow$ 4)-0-[6-deoxy- $\alpha$ -L-galactopyranosyl-(1 $\rightarrow$ 3)]-2-deoxy-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)carbonyl]amino]- $\beta$ -D-glucopyranosyl]oxyl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# PAGE 2-A

IT 194655-11-3P 194655-12-4P

RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of sialy)-Lewisa and sialy)-Lewisx epitope analogs as

(preparation of staty:-Lewisa and staty:-Lewisk epitope analogs as E-selection receptors)

- RN 194655-11-3 HCAPLUS
- CN Nonanoic acid, 9-[[2-deoxy-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)carbonyl]amino]-4-0-β-D-galactopyranosyl-β-D-glucopyranosyl-βloxyl-, methyl ester (CA INDEX NAME)

RN 194655-12-4 HCAPLUS

CN Nonanoic acid, 9-[[0-(N-acetyl-α-neuraminosyl)-(2→3)-0-βD-galactopyranosyl-(1→4)-2-deoxy-2-[[(1,6-dihydro-6-oxo-3pyridinyl)carbonyl]amino]-β-D-glucopyranosyl]oxy]-, 1-methyl ester
(9C1) (CA INDEX NAME)

Absolute stereochemistry.

IT 194655-10-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of siallyl-Lewisa and siallyl-Lewisx epitope analogs as E-selection receptors)

RN 194655-10-2 HCAPLUS

CN Nonanoic acid,  $9-[[2-deoxy-2-[[(1,6-dihydro-6-oxo-3-pyriddinyl)carbonyl]amino]-<math>\beta$ -D-glucopyranosyl]oxy]-, methyl ester (CA INDEX NAME)

L46 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1995:958268 HCAPLUS Full-text

DOCUMENT NUMBER: 123:350253

ORIGINAL REFERENCE NO.: 123:62645a,62648a

TITLE: Aerosol drug formulations containing vitamin E

INVENTOR(S): Fu, Lu Mou-ying; Gupta, Pramod K.; Adjei, Akwete L.

PATENT ASSIGNEE(S): Abbott Laboratories, USA SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA:	TENT	NO.			KIN	D	DATE			APE	LICA	TIC	I NC	NO.		D	ATE		
							-													
	WO	9524	892			A1		1995	0921		WO	1995	-US	327	64		19	9503	302	<
		W:	AU,	CA,	JP,	KR,	MX													
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	, IE	, 1	ΙT,	LU,	MC,	NL,	PT,	SE	
	CA	2183	557			A1		1995	0921		CA	1995	-21	183	557		19	9503	302	<
	AU	9519	804			A		1995	1003		ΑU	1995	-19	980	4		19	9503	302	<
	AU	7097	83			B2		1999	0909											
	JP	0951	0445			T		1997	1021		JP	1995	-52	240	61		19	9503	302	<
	EP	8041	57			A1		1997	1105		ΕP	1995	-91	127	46		19	9503	302	<
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, II	, I	ΞI,	LU,	NL,	SE,	PT,	ΙE	
IOI	RITY	APP	LN.	INFO	. :						US	1994	-21	124	72	1	A 19	9403	314	<
											WO	1995	-US	327	64	1	d 19	9503	302	<

- AB Pharmaceutical compns. for aerosol delivery are disclosed comprising (a) a medicament, (b) a non-chlorofluorocarbon propellant, and (c) tocopherol or a pharmaceutically acceptable derivative thereof, as well as a method for preparing such compns. in which unwanted aggregation of the medicament is prevented without the use of surfactants or cosolvents. Pharmaceutical aerosols containing leuprolide acetate in 0.1% d-α tocopheryl acetate (I) and 10mL HFC-134a were prepared having good dispersion quality as compared with controls without I which had poor dispersion quality.
- IT 170929-31-4

PRI

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aerosol drug formulations containing vitamin E)

- RN 170929-31-4 HCAPLUS
- CN D-Alaninamide, N-acetyl-3-(1-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-0-(1-oxohexadecyl)-D-seryl-N-methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-(9CI) (CA INDEX NAME)

PAGE 1-B

L46 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:173516 HCAPLUS Full-text

DOCUMENT NUMBER:

116:173516

ORIGINAL REFERENCE NO.: 116:29355a,29358a

TITLE: Supramolecular asymmetric induction with a NADH model

reagent grafted on silica

AUTHOR(S): Losset, D.; Dupas, G.; Duflos, J.; Bourguignon, J.;
Ouequiner, G.

CORPORATE SOURCE: Lab. Chim. Org. Fine Heterocyclique, INSA, Mont-Saint

Aigman, 76131, Fr.

SOURCE: Bulletin de la Societe Chimique de France (
1991), (Sept.-Oct.), 721-9

CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal

LANGUAGE: French

OTHER SOURCE(S): CASREACT 116:173516

A model of NADH issued from a thieno[2,3-b]dihydropyridine derivative has been grafted onto a silica matrix bearing on another part a chiral auxiliary. Two strategies were implemented to obtain the corresponding reagents. In the first case, the reagent and the auxiliary were grafted to the silica by means of two different arms. In the second case, the reagent and the auxiliary were linked to two arms which are brought together before being linked to the silica matrix. The reagents thus obtained were involved in the reduction of Me phenylglyoxylate and enantiomeric excesses of 20 and 35% were obtained. 140166-95-95Ps, silica-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and reduction of)

140168-98-5 HCAPLUS RN

CN Thieno[2,3-b]pyridinium, 5-(aminocarbonyl)-7-[15-

[(hydroxydimethylsilyl)methyl]-33-methyl-13,16,29-trioxo-32-(phenylmethyl)-12,17,30-trioxa-33-azatetratriacont-1-yl]-, bromide (9CI) (CA INDEX NAME)

Br-

L46 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN 1990:84168 HCAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 112:84168

ORIGINAL REFERENCE NO.: 112:14247a,14250a

TITLE: Stable ethanolamine derivatives-cyclodextrin inclusion compounds as blood platelet aggregation inhibitors

INVENTOR(S): Kitazoe, Sawako; Nakakame, Fujio; Honda, Haruo

PATENT ASSIGNEE(S): Terumo Corp., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 7 pp. CODEN: JKXXAF

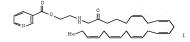
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01121253 PRIORITY APPLN. INFO.:	A	19890512	JP 1987-280057 JP 1987-280057	19871105 < 19871105 <
OTHER SOURCE(S):	MARPAT	112:84168		



AB The title cyclodextrin inclusion compds. contain an ethanolamine R1NH(CH2)2OR2[R1 = H, acvl (from nicotinic acid, triene or pentaene higher fatty acid); R2 = acyl (from nicotinic acid, triene or pentaene higher fatty

## 10/517,592

acid)]. A solution of an ethanolamine I in EtOH was treated with  $\beta-$  cyclodextrin in H2O to give an inclusion compound, which was kept at 40° for 30 days to show 95% intact I.

IT 125274-67-1P

RL: PREP (Preparation)

(preparation of, as blood platelet aggregation inhibitor)

RN 125274-67-1 HCAPLUS

CN  $\beta$ -Cyclodextrin, compd. with (Z,Z,Z)-2-[(3-

pyridinylcarbonyl)aminojethyl 9,12,15-octadecatrienoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 109001-04-9 CMF C26 H38 N2 O3

Double bond geometry as shown.

CM 2

CRN 7585-39-9

CMF C42 H70 O35

PAGE 2-A

L46 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:55850 HCAPLUS Full-text

DOCUMENT NUMBER: 112:55850

ORIGINAL REFERENCE NO.: 112:9599a,9602a

TITLE: N-thiazolylquinolinecarboxamides and analogs as

analgesics and actiinflammatory agents,

their preparation, and formulations containing them INVENTOR(S): Clemence, Francois; Le Martret, Odile; Delevallee,

Francoise

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 831,356,

abandoned. CODEN: USXXAM

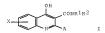
DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 4845105	A	19890704	US 1987-30680	19870324 <-	
FR 2572404	A2	19860502	FR 1984-16573	19841030 <-	
FR 2572404	B2	19871211			
FR 2585356	A1	19870130	FR 1985-11389	19850725 <-	
FR 2585356	B1	19871023			
US 4735951	A	19880405	US 1985-790064	19851022 <-	
ES 556218	A3	19870716	ES 1986-556218	19860619 <-	
US 4988708	A	19910129	US 1988-183911	19880420 <-	
PRIORITY APPLN.	INFO.:		FR 1984-16573	A 19841030 <-	
			FR 1985-11389	A 19850725 <-	
			US 1985-790064	A2 19851022 <-	
			US 1986-831356	A2 19860220 <-	
			US 1986-890081	A1 19860724 <-	
			GB 1977-18597	A 19770504 <-	
			FR 1982-9654	19820603 <-	
			US 1987-30680	A2 19870324 <-	

OTHER SOURCE(S): MARPAT 112:55850 GI



AB The title compds. I (X = H, halo, C1-5 alkyl, etc., in the 5-, 6-, 7-, or 8-position; R1 = H, C1-4 alkyl; R2 = thiazolyl, 4,5-dihydrothiazolyl, pyridinyl, oxazolyl, etc.; A = CR3R40COR5, etc.; R3, R4 = H, C1-4 alkyl, aryl; R5 = Ph,

naphthyl, etc.), useful as analgesics and antifriammatory agents, were prepared A mixture of 4-hydroxy-2-(1-propenyl)-N-(2-thizolyl)-8-trifluoromethyl-3- quinolinecarboxamide, methylbenzyl ammonium chloride, and KMnO4 in CH2Cl2 was stirred at 0° for 1 h to give 2-(1,2-dihydroxypropyl)-4-hydroxy-N-(2-thiazolyl)-8-trifluoromethyl-3-quinolinecarboxamide (II). In a chronic arthritis test using rats, II exhibited an oral ED50 of 3 mg/kg. Tablet formulations contq I were given.

IT 124822-98-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as analyssic and antiinflammatory agent)

RN 124822-98-6 HCAPLUS

CN Dodecanoic acid, 1-[4-hydroxy-3-[(2-thiazolylamino)carbonyl]-8-(trifluoromethyl)-2-quinolinyl]propyl ester (CA INDEX NAME)

L46 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:422828 HCAPLUS Full-text
DOCUMENT NUMBER: 109:22828

ORIGINAL REFERENCE NO.: 109:3897a,3900a

ORIGINAL REFERENCE NO.: 109:3897a,3900a

TITLE: 4-Hydroxy-3-quinolinecarboxamides with antiarthritic

and analgesic activities

AUTHOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise; Benzoni, Josette; Jouanen, Alain; Jouquey,

Simone; Mouren, Michel; Deraedt, Roger

CORPORATE SOURCE: Cent. Rech., ROUSSEL-UCLAF, Romainville, 93230, Fr.

SOURCE: Journal of Medicinal Chemistry (1986),

31(7), 1453-62

CODEN: JMCMAR; ISSN: 0022-2623

Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:22828

GT

DOCUMENT TYPE:

AB A series of 4-hydroxy-3-quinolinecarboxamides were synthesized and evaluated by the oral route as antiinflammatory agents in carrageenin-induced foot edema and adjuvant-induced arthritis and as analgesic agents in the AcOH induced writhing test. Thus, 4-hydroxy-8-methoxy-3-quinolinecarbonyl chloride reacted with 2-aminothiazole to give 64% the title compound I (R = MeO, RI = H). Some

of the most active mols., possessed both analgesic and acute antiinflammatory activity, others, such as I (R = CF3; R1 = H, Me, CHC12) were only powerful, peripherally acting analgesics. I (R = CF3, R1 = CHCl2), being active at 1 mg/kg (ED50), is the most potent compound in the series. Some analogs, substituted in the 2-position by an alc., ester, or amine function, displayed potent antiarthritic activity in the same range as that of piroxicam and were also active in acute tests of inflammation and nociception. They inhibited the activity of both cyclooxygenase and 5-lipoxygenase at micromolar concns. I (R = CF3, R1 = EtCO2CHEt) (RU 43526) showed potent antiarthritic activity (adjuvant-induced arthritis, ED50 = 0.7 mg/kg, po) and gastrointestinal tolerance (ED100 > 250 mg/kg, po) and thus it is presently undergoing an extensive pharmacol, evaluation.

124822-98-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and analgesic activity of)

RN 124822-98-6 HCAPLUS

CN Dodecanoic acid, 1-[4-hydroxy-3-[(2-thiazolylamino)carbonyl]-8-(trifluoromethyl)-2-quinolinyl]propyl ester (CA INDEX NAME)

L46 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1987:439501 HCAPLUS Full-text

DOCUMENT NUMBER: 107:39501

ORIGINAL REFERENCE NO.: 107:6595a,6598a

TITLE:

Alkenoylaminoalkanol and nicotinoylaminoalkanol derivatives as inhibitors of platelet aggregation Takahashi, K.; Suwabe, Y.; Wakabayashi, T.

INVENTOR(S): PATENT ASSIGNEE(S): Terumo Corp., Japan

SOURCE: Belg., 37 pp. CODEN: BEXXAL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

> PATENT NO. DATE APPLICATION NO. DATE KIND ---------BE 901987 A1 19850716 BE 1985-214681 19850321 <--JP 60197642 A 19851007 JP 1984-53796 19840321 <--JP 01013703 В 19890307 JP 61189252 A 19860822 JP 1985-26533 19850215 <--JP 03021540 В 19910322 US 4619938 A 19861028 US 1985-713496 19850319 <--EP 1985-103253 EP 161422 A1 19851121 19850320 <--EP 161422 B1 19890301 R: CH, DE, FR, GB, LI, NL, SE

PRIORITY APPLN. INFO.: JP 1984-53796 A 19840321 <--JP 1985-26533 A 19850215 <--

OTHER SOURCE(S): CASREACT 107:39501; MARPAT 107:39501

GI

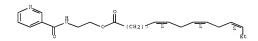
AB The title compds. R1R2N(CH2)nOR3 [R1 = H, alkyl, R2 = H, acyl radical (from nicotinic acid, trienoic or pentaenoic fatty acids); R3 = R2, 3-pyridylmethyl; n = 2-31, useful as platelet aggregation inhibitors, were prepared A solution of 302 mg 5,8,11,14,17-eicosapentaenoic acid in CRC13 was treated with 0.13 mL (CCC1)2. The resulting eicosapentaenoyl chloride reacted with '73 mg H2N(CH2)30H in anhydrous CHC13 containing 276 mg K2CO3 for 2 h to give 243 ng N-(5,8,11,14,17-eicosapentaenoyl)-3-aminopropanol (I). I was esterified at room temperature with nicotinoyl chloride in anhydrous CGH6 in the presence of K2CO3 to yield the corresponding N-eicosapentaenoyl-3- aminopropyl nicotinate II. At 3.44 + 10-6 M, II provided 50% in vitro inhibition of rabbit blood platelet aggregation induced by arachidonic acid (100 µmol). Tablets containing II, cellulose, corn starch, lactose, hydroxypropylcellulose, Mg stearate, etc., were prepared

T 109001-04-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as blood platelet aggregation inhibitor)

RN 109001-04-9 HCAPLUS CN 9,12,15-Octadecatrienoic acid, 2-[(3-pyridinylcarbonyl)amino]ethyl ester,

Double bond geometry as shown.



L46 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1981:468012 HCAPLUS Full-text

ACCESSION NUMBER: 1981:468012 HCAPLUS Full-te

DOCUMENT NUMBER: 95:68012

ORIGINAL REFERENCE NO.: 95:11387a,11390a

(Z,Z,Z)- (9CI) (CA INDEX NAME)

TITLE: Soft quaternary surface active agents and method of

using same

INVENTOR(S): Bodor, Nicolae S.

PATENT ASSIGNEE(S): INTERx Research Corp., USA

SOURCE: U.S., 24 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

### PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4204065	A	19800520	US 1978-969255	19781213 <
US 3989711	A	19761102	US 1975-615519	19750922 <
PRIORITY APPLN. INFO.:			US 1975-615519 A2	19750922 <
			US 1976-726841 A1	19760927 <
CT				

$$\label{eq:mechanical} \operatorname{Me}\left(\operatorname{CH}_{2}\right)_{10}\operatorname{Co}_{2}\operatorname{CH}_{2}\overset{\circ}{\operatorname{NMe}} \\ \\ \operatorname{I}$$

- AB Soft quaternary ammonium surface active agents .tplbond.N+CHRO2CRI X(.tplbond.N+ from open chain or cyclic amines, R = H, Cl-20 alkyl or
  cycloalkyl, alkoxyalkyl, acyloxyalkyl, haloalkyl, or carboxyalkyl, substituted
  aryl groups, R1 = C9-22 alkyl, alkylamine groups and X = halogen atom or
  monovalent anion) exhibit antibacterial activity with low toxicity and are
  used in mouthwashes, shampoos and other formulations. l-n-Dodecanoyloxymethyl3-methylimidazolium chloride (I) [61413-61-4] was prepared from chloromethyl
  n-dodecanoate [61413-67-0] and 1-methylimidazole [616-47-7]. The i.p., i.v.,
  and oral LD50 doses for I were resp., 14-16, 3-4.5 and 40 times higher than
  those observed for cetylpyridinium chloride.
- IT 61413-66-9 78472-32-9 78472-33-0
  - 78472-38-5 78472-41-0 78472-42-1
    - 78472-48-7 78472-49-8 78472-50-1
    - 78472-51-2 78472-56-7 78472-57-8 78472-58-9 78472-59-0 78472-63-6
    - 78472-64-7 78472-65-8 78472-68-1
    - 78472-69-2 78472-65-8 78472-68-1
    - 78472-76-1 78472-77-2 78472-78-3
    - 78472-79-4 78492-60-1 78492-62-3
    - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (bactericide)
- RN 61413-66-9 HCAPLUS
- CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[[(1-oxododecyl)oxy]methyl]-, chloride (9CI) (CA INDEX NAME)

● C1-

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$$\begin{array}{c} \text{CH}_2-\text{O} = \overset{\circ}{\mathbb{L}} \quad \text{(CH}_2) \text{ 6-Me} \\ \\ \text{H}_2\text{H} = \overset{\circ}{\mathbb{L}} \end{array}$$

- C1
- RN 78472-33-0 HCAPLUS

- Br-
- RN 78472-38-5 HCAPLUS

- € C1-
- RN 78472-41-0 HCAPLUS
- CN Pyridinium, 3-(aminocarbonyl)-1-[[(1-oxotetradecyl)oxy]methyl]-, chloride (9CI) (CA INDEX NAME)

● C1 -

- RN 78472-42-1 HCAPLUS
- CN Pyridinium, 3-(aminocarbonyl)-1-[[(1-oxotetradecyl)oxy]methyl]-, bromide (9CI) (CA INDEX NAME)

● Br

- RN 78472-48-7 HCAPLUS
- CN Pyridinium, 3-(aminocarbonyl)-1-[1-[(1-oxooctyl)oxy]ethyl]-, chloride (9CI) (CA INDEX NAME)

● C1 =

- RN 78472-49-8 HCAPLUS

**■** Dv =

- RN 78472-50-1 HCAPLUS
- CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[1-[(1-oxooctyl)oxy]ethyl]-, chloride (9CI) (CA INDEX NAME)

● C1-

- RN 78472-51-2 HCAPLUS
- CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[1-[(1-oxooctyl)oxy]ethyl]-, bromide (9CI) (CA INDEX NAME)

Br-

- RN 78472-56-7 HCAPLUS
- CN Pyridinium, 3-(aminocarbony1)-1-[1-[(1-oxodecy1)oxy]ethy1]-, chloride (9CI) (CA INDEX NAME)

- RN 78472-57-8 HCAPLUS

Br-

- RN 78472-58-9 HCAPLUS

■ c1 =

## 10/517,592

CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[1-[(1-oxodecyl)oxy]ethyl]-, bromide (9CI) (CA INDEX NAME)

- Br-
- RN 78472-63-6 HCAPLUS
- CN Pyridinium, 3-(aminocarbonyl)-1-[1-[(1-oxododecyl)oxy]ethyl]-, chloride (9CI) (CA INDEX NAME)

- C1 ·
- RN 78472-64-7 HCAPLUS
- CN Pyridinium, 3-(aminocarbonyl)-1-[1-[(1-oxododecyl)oxy]ethyl]-, bromide (9CI) (CA INDEX NAME)

Br-

- RN 78472-65-8 HCAPLUS
- CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[1-[(1-oxododecyl)oxy]ethyl]-, chloride (9CI) (CA INDEX NAME)

- $78472-68-1 \quad \text{HCAPLUS} \\ \text{Pyridinium, } 3-(\text{aminocarbony1})-1-[1-[(1-\text{oxohexadecy1})\,\text{oxy}]\text{ethy1}]-, \text{ chloride} \\$ CN (9CI) (CA INDEX NAME)

- 78472-69-2 HCAPLUS
- CN Pyridinium, 3-(aminocarbonyl)-1-[1-[(1-oxohexadecyl)oxy]ethyl]-, bromide (9CI) (CA INDEX NAME)

A Dr. -

- RN 78472-70-5 HCAPLUS

● C1-

- RN 78472-71-6 HCAPLUS
- CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[1-[(1-oxohexadecyl)oxy]ethyl]-, bromide (9CI) (CA INDEX NAME)

Br-

- RN 78472-76-1 HCAPLUS

Double bond geometry as shown.

● c1-

- RN 78472-77-2 HCAPLUS
- CN Pyridinium, 3-(aminocarbonyl)-1-[[(1-oxo-9-octadecenyl)oxy]methyl]-, bromide, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Br-

- RN 78472-78-3 HCAPLUS
- CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[[(1-oxo-9-octadecenyl)oxy]methyl], chloride, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

● c1-

- RN 78472-79-4 HCAPLUS
- CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[[(1-oxo-9-octadecenyl)oxy]methyl], bromide, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 78492-60-1 HCAPLUS

CN Pyridinium, 3-(aminocarbonyl)-1-[[(1-oxodecyl)oxy]methyl]-, bromide (9CI) (CA INDEX NAME)

\_

RN 78492-62-3 HCAPLUS

CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[1-[(1-oxododecyl)oxy]ethyl]-, bromide (9CI) (CA INDEX NAME)

● Br =

L46 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1980:191861 HCAPLUS Full-text

DOCUMENT NUMBER: 92:191861
ORIGINAL REFERENCE NO.: 92:31009a,31012a

TITLE: Soft drugs, 1. Labile quaternary ammonium salts as

soft antimicrobials

Bodor, Nicholas; Kaminski, James J.; Selk, Sally AUTHOR(S): CORPORATE SOURCE: Coll. Pharm., Univ. Florida, Gainesville, FL, 32610,

SOURCE: Journal of Medicinal Chemistry (1980),

23(5), 469-74

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English GI

Me(CH2)10CO2CH2N NMe

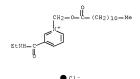
The title compds., defined as biol. active chemical compds. (drugs), are AB characterized by a predictable in vivo destruction (metabolism) to nontoxic moieties, after they achieve their therapeutic role, were prepared by direct quaternization of the appropriate amine with an  $\alpha$ -haloalkyl ester (soft alkylating agent). 1-[(Dodecanoyloxy)methyl]-3-methylimidozolium chloride (I) [61413-61-4] was about 10 times less active as an antimicrobial than the control hexadecylpyridinium chloride. However, I was still effective at 0.1 and 0.01%, a concentration which is less than that at which the control is generally used; in the same time I was 15-40% times less toxic than the control. The LD50 of I i.p., i.v., and orally was determined in mice. The alkyl chain length and the nature of the amine affect antimicrobial activity. ΤТ 61413-66-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antimicrobial activity of)

RN 61413-66-9 HCAPLUS

CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[[(1-oxododecyl)oxy]methyl]-, chloride (9CI) (CA INDEX NAME)



L46 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1979:557420 HCAPLUS Full-text

DOCUMENT NUMBER: 91:157420 ORIGINAL REFERENCE NO.: 91:25401a,25404a

TITLE: Labile, non-heterocyclic quaternary ammonium

salt-esters as transient derivatives

Bodor, Nicolae S.

INVENTOR(S): PATENT ASSIGNEE(S): INTERx Research Corp., USA

SOURCE: U.S., 46 pp. Cont.-in-part of U.S. 3,998,815.

CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	AP	PLICATION NO.		DATE	
						-		
	US 4160099	A	19790703	US	1976-724914		19760920	<
	US 3998815	A	19761221	US	1974-482513		19740624	<
	CA 1045628	A1	19790102	CA	1975-229912		19750623	<
	FR 2276289	A1	19760123	FR	1975-19766		19750624	<
	FR 2276289	B1	19791019					
	AU 7582412	A	19770106	AU	1975-82412		19750624	<
	GB 1471828	A	19770427	GB	1975-26734		19750624	<
	US 4727151	A	19880223	US	1978-962948		19781122	<
PRIOF	RITY APPLN. INFO.:			US	1974-482513	A2	19740624	<
				US	1976-724914	А3	19760920	<
OTHER	COUDCE (C).	CACDEAG	OT 01.157420	. 2.0	ADDAT 01.157400			

OTHER SOURCE(S):

CASREACT 91:157420; MARPAT 91:157420

Title compds., which readily hydrolyze chemical or enzymatically to release a tertiary amine and other compds., as well as heterocyclic analogs with similar properties., were prepared by quaternization of the corresponding tertiary amine. Thus, Et2NCH2CONHC6H3Me2-2,6 treated with Me3CCO2CH2C1 gave 80% (Me3CCO2CH2)Et2N+CH2CONHC6H3Me2-2,6 Cl-. Pilocarpine (I) was quaternized similarly with Me(CH2)14CO2CH2C1 to give a quaternary salt which released I in the eyes of albino rabbits at a higher and more sustained rate than I.HCl.

61413-66-9P 71221-87-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

61413-66-9 HCAPLUS

CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[[(1-oxododecyl)oxy]methyl]-, chloride (9CI) (CA INDEX NAME)

71221-87-9 HCAPLUS

CN Pyridinium, 3-[(dimethylamino)carbonyl]-1-[[(1-oxododecyl)oxy]methyl]-, chloride (9CI) (CA INDEX NAME)

● C1-

L46 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1977:57135 HCAPLUS Full-text

DOCUMENT NUMBER: 86:57135

ORIGINAL REFERENCE NO.: 86:9117a,9120a

TITLE: Soft quaternary surface active agents exhibiting

INVENTOR(S): Bodor, Nicolae S.

PATENT ASSIGNEE(S): Interx Research Corp., USA SOURCE: U.S., 24 pp.

CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3989711	A	19761102	US 1975-615519	19750922 <
DE 2641896	A1	19770421	DE 1976-2641896	19760917 <
FR 2324721	A1	19770415	FR 1976-28340	19760921 <
JP 52039616	A	19770328	JP 1976-113206	19760922 <
US 4204065	A	19800520	US 1978-969255	19781213 <
US 4313889	A	19820202	US 1980-158316	19800610 <
PRIORITY APPLN. INFO.:			US 1975-615519 A	19750922 <
			US 1976-726841 A1	19760927 <
			US 1978-969260 A1	19781213 <

antibacterial activity

- AB Ten quaternary ammonium compds. useful as antibacterial agents in detergents, mouthwashes, shampoos, cosmetic bases, etc., were prepared by the reaction of Me(CH2)nCO2CH2C1 (n = 6, 10, 12, or 14) with pyridine [110-86-1], 1-methylimidazole [616-47-7], EtaN [121-44-8], triethylenediamine [280-57-9], or N-ethylnicotinamide [4314-66-3]. The quaternary compds. degraded into nontoxic by-products after use. Thus, Me(CH2)6CO2CH2C1 [61413-70-5] and pyridine were heated at 90° for 3 hr to prepare N- (octanoyloxymethyl)pyridinium chloride [61413-57-8].
  - IT 61413-66-9
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (bactericide, surface-active, biodecradable)
- RN 61413-66-9 HCAPLUS
- CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[[(1-oxododecyl)oxy]methyl]-, chloride (9CI) (CA INDEX NAME)

L46 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1968:49557 HCAPLUS Full-text

DOCUMENT NUMBER: 68:49557

ORIGINAL REFERENCE NO.: 68:9595a,9598a

TITLE: Flavine-pyridinium biscoenzyme analogs. Synthesis and

AUTHOR(S): Pappas, Socrates P.; Pappas, Betty C.; Marchant,

Kerford A., Jr.

CORPORATE SOURCE: Emory Univ., Atlanta, GA, USA SOURCE: Biochemistry (1967), 6(10), 3264-9

CODEN: BICHAW; ISSN: 0006-2960

DOCUMENT TYPE: Journal

LANGUAGE: English

GT For diagram(s), see printed CA Issue.

AB The potential importance of flavine-pyridinium interactions in enzymic reactions catalyzed by flavoproteins prompted the synthesis of substances, embodying both a flavine and pyridinium group separated by a varying number of atoms, for study as model enzymes. The synthesis of flavine 3carbamidopyridinium models (I) with connecting links of 14, 9, and 7 atoms between the terminal flavine and pyridinium moieties is reported. The kinetics of the nonenzymatic oxidation of NADH and dihydrolipoic acid [Lip(SH)2] by these substances were determined In all cases, rate enhancements of two- to sevenfold relative to riboflavin were observed. The results provide kinetic evidence for different mechanisms of participation by the pyridinium rings of the models in the oxidation of NADH and Lip(SH)2. In the latter case, the rate increases which parallel closer proximity of the terminal flavin and pyridinium groups apparently reflect enhanced electronegativity of the flavine ring. However, in the oxidation of NADH, the relative rates, representative activation parameters, and control expts. indicate that the pyridinium ring actively participates in the transition state of the reductive process by

ΙT 17334-98-4P

coordination with the flavine ring or with NADH. RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 17334-98-4 HCAPLUS

CN Pyridinium, 3-carbamoyl-1-(10-carboxydecyl)-, bromide, ester with 10-(2-hydroxyethyl)-7,8-dimethylisoalloxazine (8CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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L46 ANSWER 14 OF 16 USPATFULL on STN

ACCESSION NUMBER:

2001:22200 USPATFULL Full-text

TITLE: INVENTOR(S):

Sialyl-Lewisa and sialyl-Lewisx epitode analogues Oehrlein, Reinhold, Rheinfelden, Germany, Federal

Republic of PATENT ASSIGNEE(S):

Granted

GlycoTech Corp., Rockville, MD, United States (U.S. corporation)

PATENT	INFO	RMATION:
APPLICA	ATION	INFO.:

NUMBER	KIND	DATE		
US 6187754	B1	20010213		<
WO 9728174		19970807		<
US 1999-117521		19990108	(9)	
WO 1997-EP223		19970117		
		19990108	PCT	371 date
		19990108	PCT	102(e) date

NUMBER	DATE
CH 1996-229 Utility	19960130

PRIORITY INFORMATION: DOCUMENT TYPE: FILE SEGMENT: PRIMARY EXAMINER: LEGAL REPRESENTATIVE: NUMBER OF CLAIMS:

Fonda, Kathleen K. Seed Intellectual Property Law Group PLLC

46 EXEMPLARY CLAIM: 1

LINE COUNT:

2678

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Sialyl-Lewis.sup.a and sialyl-Lewis.sup.x epitope analogues, in which the natural N-acetyl group of the N-acetylglucosamine monomer is replaced by various hydroxylated aromatic substituents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 194655-09-9P

(preparation of sialyl-Lewisa and sialyl-Lewisx epitope analogs as E-selection receptors)

PAGE 1-A

RN 194655-09-9 USPATFULL

CN Nonanoic acid, 9-[[0-(N-acetyl-α-neuraminosyl)-(2→3)-0-βD-galactopyranosyl-(1→4)-0-[6-deoxy-α-L-galactopyranosyl(1→3)]-2-deoxy-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)carbonyl]amino]β-D-qlucopyranosyl]oxyl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 194655-11-3P 194655-12-4P

(preparation of sialyl-Lewisa and sialyl-Lewisx epitope analogs as E-selection receptors)

- RN 194655-11-3 USPATFULL
- CN Nonanoic acid, 9-[[2-deoxy-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)carbonyl]amino]-4-O-β-D-galactopyranosyl-β-D-glucopyranosyl]oxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

- RN 194655-12-4 USPATFULL
- CN Nonanoic acid,  $9-[[O-(N-acetyl-\alpha-neuraminosyl)-(2\rightarrow 3)-O-\beta-D-galactopyranosyl-(1\rightarrow 4)-2-deoxy-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)carbonyl]amino]-<math>\beta$ -D-glucopyranosyl]oxy]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 194655-10-2P

(preparation of sialyl-Lewisa and sialyl-Lewisx epitope analogs as E-selection receptors)

- RN 194655-10-2 USPATFULL
- CN Nonancic acid, 9-[[2-deoxy-2-[[(1,6-dihydro-6-oxo-3pyridiny])carbony]]amino]-β-D-glucopyranosyl]oxy]-, methyl ester (CA INDEX NAME)

L46 ANSWER 15 OF 16 USPATFULL on STN

86:60823 USPATFULL Full-text ACCESSION NUMBER:

TITLE: Fatty acid derivatives of aminoalkyl nicotinic acid

esters and platelet aggregation inhibitors

....

INVENTOR(S): Takahashi, Keiko, Tokvo, Japan Suwabe, Yasushi, Tokyo, Japan Wakabayashi, Toshio, Tama, Japan

PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Tokyo, Japan (non-U.S.

corporation)

	NUMBER D	/IND DATE	
PATENT INFORMATION:	US 4619938	19861028	<
APPLICATION INFO.:	US 1985-713496	19850319	(6)
	NUMBER	DATE	
PRIORITY INFORMATION:	JP 1984-53796	19840321	<

JP 1985-26533 19850215 DOCUMENT TYPE: Utility FILE SEGMENT:

Granted PRIMARY EXAMINER: Jiles, Henry R. ASSISTANT EXAMINER: Bjorkman, Dale A.

LEGAL REPRESENTATIVE: Burns, Doane, Swecker and Mathis

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1.5 792

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Alkanolamine derivatives and platelet aggregation inhibitors containing the AB same as an active ingredient are disclosed. The alkanolamine derivatives are novel compounds which possess potent platelet aggregation inhibitory activities and effective in preventing diseases such as thrombosis. As typical compounds are mentioned N-5,8,11,14,17-eicosapentaenovl-2aminoethanol, N-nicotinoy1-2- aminoethy1-5,8,11,14,17-eicosapentaenoate, Nethyl-N-5,8,11,14,17- eicosapentaenoyl-2-aminoethanol, N-butyl-N-5,8,11,14,17-eicosapentaenoyl- 2-aminoethanol, N-5,8,11,14,17-3aminopropylnicotinate, (N-ethyl-N-nicotinoyl-2-aminoethyl)-5,8,11,14,17eicosapentaenoate and the like.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 109001-04-9P

(preparation of, as blood platelet aggregation inhibitor)

RN 109001-04-9 USPATFULL

9,12,15-Octadecatrienoic acid, 2-[(3-pyridinylcarbonyl)amino]ethyl ester, (Z,Z,Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

<--

L46 ANSWER 16 OF 16 USPATFULL on STN

ACCESSION NUMBER: 82:5735 USPATFULL Full-text

TITLE: Soft quaternary surface active agents

INVENTOR(S): Bodor, Nicolae S., Lawrence, KS, United States

PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S.

corporation)

APPLICATION INFO.: US 1980-158316 19800610 (6)
RELATED APPLN. INFO.: Continuation of Ser. No. US 1978-969260, filed on 13

Dec 1978, now abandoned which is a division of Ser. No. US 1976-726841, filed on 27 Sep 1976, now Defensive Publication No. which is a continuation-in-part of Ser.

No. US 1975-615519, filed on 22 Sep 1975, now patented, Pat. No. US 3989711

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Niebling, John F.
LEGAL REPRESENTATIVE: Sudol, Jr., Michael C.

NUMBER OF CLAIMS: 50

EXEMPLARY CLAIM: 1 LINE COUNT: 1071

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Soft quaternary surface active agents having the formula: ##STR1## wherein ##STR2## represents a tertiary open chain or cyclic aliphatic amine; wherein ##STR3## represents an unsaturated amine; wherein R represents a member selected from the group consisting of a hydrogen atom, a C.sub.1 -C.sub.20 open chain or cyclo alkyl group, a C.sub.1 -C.sub.20 alkoxyalkyl group, a C.sub.1 -C.sub.20 acyloxyalkyl group, a C.sub.1 -C.sub.20 haloalkyl group, a C.sub.1 -C.sub.20 carboxyalkyl group, an aryl group, and a substituted aryl group, whose substituents are selected from the group consisting of a halogen atom, an O--C.sub.1 -C.sub.4 alkyl group, an O--C.sub.1 -C.sub.8 acvl group, a nitro group, a carboxvl group, and a carboethoxy group; wherein R.sub.1 represents a C.sub.9 -C.sub.22 straight or branched alkyl group, a -- (CH.sub.2).sub.n -- ##STR4## wherein R.sub.3, R.sub.4, R.sub.5 and R.sub.6 are each selected from the group consisting of a hydrogen atom, a methyl group or an ethyl group, a C.sub.0 -C.sub.22 straight or branched alkyl ##STR5## wherein n in each occurrence and m represent an integer of from 0 to 22, an ##STR6## wherein A represents a C.sub.0 -C.sub.22 straight or branched alkyl group as above or a -- (CH.sub.2 CH.sub.2 0).sub.p group, wherein the p represents an integer of from 0 to 22, and the residue of any naturally occurring bile acid or synthetic derivative thereof; and wherein X represents a halogen atom or any other organic or inorganic monovalent anion are disclosed.

All compounds encompassed within the above-described generic formulae find use as "soft" antibacterial agents of extremely low toxicity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- IT 61413-66-9
- (bactericide, surface-active, biodegradable)
- RN 61413-66-9 USPATFULL CN Pyridinium, 3-[(ethylamino)carbonyl]-1-[[(1-oxododecyl)oxy]methyl]-, chloride (9c1) (CA INDEX NAME)

● c1-

### SEARCH HISTORY

### => d his ful

(FILE 'HOME' ENTERED AT 13:45:16 ON 19 JUN 2008)

FILE 'HCAPLUS' ENTERED AT 13:45:46 ON 19 JUN 2008

- E WEIDNER MORTEN SLOTH/AU
  L1 23 SEA ABB=ON "WEIDNER MORTEN SLOTH"/AU
- L2 1 SEA ABB=ON L1 AND ?POLYHYDROXYALKAN?

### FILE 'REGISTRY' ENTERED AT 13:46:24 ON 19 JUN 2008

L3 29 SEA ABB=ON (59-67-6/BI OR 10417-94-4/BI OR 107-88-0/BI OR 110-86-1/BI OR 110-86-1/BI OR 114-33-0/BI OR 124-07-2/BI OR 141-22-0/BI OR 142-62-1/BI OR 143-07-1/BI OR 373-49-9/BI OR 373-49-9/BI OR 4314-66-3/BI OR 4621-66-3/BI OR 463-40-1/BI OR 50-70-4/BI OR 502-54-5/BI OR 506-63/BI OR 463-40-1/BI OR 505-56-5-5-5/BI OR 505-54-5/BI OR 505-5-112-5/BI OR 505-5112-5/BI O

7150-23-4/BI OR 98-92-0/BI)
FILE 'HCAPLUS' ENTERED AT 13:46:30 ON 19 JUN 2008

L4 1 SEA ABB=ON L2 AND L3

FILE 'REGISTRY' ENTERED AT 13:47:30 ON 19 JUN 2008

- E NIACINAMIDE/CN
- L5 1 SEA ABB=ON NIACINAMIDE/CN
- L6 1 SEA ABB=ON 98-92-0/RN
- L7 STRUCTURE 98-92-0
- L8 0 SEA SSS SAM L7
- L9 0 SEA SSS FUL L7
- L10 STR L7
- L11 0 SEA SSS SAM L10
  - E GLYCERYLMONOCAPRYLATE/CN
    - E 1-GLYCERYLMONOCAPRYLATE/CN E 1-GLYCERYL MONOCAPRYLATE/CN
  - STR L7
- L13 0 SEA SSS SAM L12

1.12

- L14 6 SEA SSS FUL L12
- L15 0 SEA ABB=ON 504-54-5/RN
- L16 1 SEA ABB=ON 502-54-5/RN
- FILE 'HCAPLUS' ENTERED AT 13:59:47 ON 19 JUN 2008
- L17 2 SEA ABB=ON L6 AND L16
- L18 2 SEA ABB=ON ?NIACINAMID? AND ?GLYCER?(W)?MONOCAPRYLAT?
- L19 4 SEA ABB=ON L17 OR L18

### FILE 'REGISTRY' ENTERED AT 14:02:00 ON 19 JUN 2008

L20
46 SEA ABB=ON (3030-30-6/BI OR 101828-21-1/BI OR 104153-37-9/BI OR 107-11-9/BI OR 110588-57-3/BI OR 112-38-9/BI OR 114-33-0/BI OR 119006-77-8/BI OR 110588-57-3/BI OR 112-38-9/BI OR 114-33-0/BI OR 119006-77-8/BI OR 167-18/BI OR 137234-62-9/BI OR 13674-26 -7/BI OR 1400-61-9/BI OR 153301-19-0/BI OR 171228-49-2/BI OR 198022-65-0/BI OR 22916-47-8/BI OR 23953-75-1/BI OR 23958-96-1/BI OR 27520-47-9/BI OR 27523-40-6/BI OR 29342-05-0/BI OR 329-89-5/BI OR 3141-66-3/BI OR 5421-6-3/BI OR 5421-45-6/BI OR 59-67-6/BI OR 6628-96-8/BI OR 61318-90-9/BI OR 6421-45-6/BI OR 64872-76-0/BI OR 65277-42-1/BI OR 65472-88-0/BI OR 65899-73-2/BI OR 67-97-9/BI OR 06 TO 6795-31-5/BI

OR 7150-23-4/BI OR 72479-26-6/BI OR 7553-56-2/BI OR 777-11-7/BI OR 78613-35-1/BI OR 84625-61-6/BI OR 86386-73-4/BI OR 91161-71-6/BI OR 99-92-0/BI OR 99592-32-2/BI

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FILE HOME

FILE HCAPLUS

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### FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 19 Jun 2008 (20080619/PD) FILE LAST UPDATED: 19 Jun 2008 (20080619/ED) HIGHEST GRANTED PATENT NUMBER: US7389542 HIGHEST APPLICATION PUBLICATION NUMBER: US20080148460 CA INDEXING IS CURRENT THROUGH 19 Jun 2008 (20080619/UPCA) ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 19 Jun 2008 (20080619/PD) REVISED CLASS FIELDS (/OLL) LAST RELOADED: Apr 2008 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2008